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L28: Entry 10 of 14 File: DWPI Jul 20, 1993

DERWENT-ACC-NO: 1993-261614
DERWENT-WEEK: 199333
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TITLE: New tri:methyl cycloheptene (di)one and benzo:dioxolane dervis. - are mono:terpene derivs. which inhibit lipoxygenase, used as antiallergy and antiinflammatory agents

PATENT-ASSIGNEE:

ASSIGNEE	CODE
TSUMURA & CO	TSUR

PRIORITY-DATA: 1991JP-0358145 (December 27, 1991)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 05178793 A	July 20, 1993		008	C07C069/76

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
JP05178793A	December 27, 1991	1991JP-0358145	

INT-CL (IPC): A61K 31/235; A61K 31/335; A61K 31/35; C07C 69/76; C07D 317/64

ABSTRACTED-PUB-NO: JP05178793A
BASIC-ABSTRACT:

(1) Monoterpene derivs. of formulae (I) and (II) are new, (where R1 = OH, p-bromobenzoyloxy, or acetoxy). (2) C6-C1 cpd. of formula (III) is new.

(I)-(III) can be isolated from a chinese plant, the root of Asarum sieboldii Miq., as follows. The plant is extracted with water, MeOH, EtOH, acetone or EtOAc. The extract is dispersed in water and partitioned into a non-polar solvent, e.g. benzene, CHCl3, Et2O, n-hexane, cyclohexane; the aq. layer is extracted with EtOAc and/or n-BuOH. The extract is applied to column chromatography or HPLC (silica gel, ODS-silica gel, porous polymer gel) and eluted with water, MeOH, EtOH, acetone, THF, EtOAc, MeCN, CHCl3, benzene, ether, petroleum ether, or n-hexane. The product if required is further acetylated with Ac2O or p-bromobenzoylated with p-bromobenzoyl chloride.

USE/ADVANTAGE - (I)-(III) strongly inhibit 5-lipoxygenase and are useful as anti-allergic or anti-inflammatory agents. They may be administered orally or parenterally as tablets, capsules, granules, powder, injection, or suppositories. In oral administration, they may be given at divided doses of 10mg-1g a day for an adult. As injection (i.v., infusion, s.c., i.m.), it may be in 0.1-100 mg.

In an example, the plant (100kg) was divided into 10kg each, and extracted with 96L MeOH at the reflux temp. for 2 hr twice to give 6.2 kg extract. This was dispersed into 30L water and partitioned with hexane (10Lx5). The aq. layer was extracted with n-BuOH (10Lx5) and the n-BuOH extract was evapd. to give 2.2 kg dry extract. This was dispersed into 3L water and applied to a column of Diaion HP-20 (Mitsubishi Chem.Co.) (eluted with 70L water, 100L 40% MeOH, 80L MeOH and 70L acetone). The 40% MeOH eluate was evapd. to give 130.2g extract, which was chromatographed on a column of Kieselgel

60 (70-230 mesh; Merck) and eluted with CHCl3/MeOH (98:2). The eluate was further applied to medium pressure silica gel chromatography (CIG column) (eluted with CHCl3 and then to HPLC (YMCpack I-15, ODS, S-343; water/MeOH (3:1); 5ml/min.). The fraction of retention time 56-64 min. afforded 523.0 mg 5-hydroxy-4,7,7-trimethyl-8-oxabicyclo(3.2.1)hept-3-en-2-one as colourless oil. IR: cm power(-1) (CCl4): 3380, 1710.

CHOSEN-DRAWING: Dwg.0/0

TITLE-TERMS: NEW TRI METHYL CYCLOHEPTENE DI ONE BENZO DIOXOLAN MONO TERPENE DERIVATIVE INHIBIT LIPOXIDASE ANTIALLERGIC ANTIINFLAMMATORY AGENT

DERWENT-CLASS: B02 B05

CPI-CODES: B06-A02; B10-F02; B12-D02; B12-D07; B12-G01B1;

CHEMICAL-CODES:

Chemical Indexing M2 *01*
Fragmentation Code
D011 D013 D016 D030 D130 G013 G100 H401 H421 H603
H641 J011 J221 J5 J521 M123 M136 M210 M211 M240
M262 M281 M283 M320 M412 M511 M520 M530 M531 M540
M710 M903 M904 P411 P420 P616 V811
Ring Index
01324
Markush Compounds
199333-18301-N

Chemical Indexing M2 *02*
Fragmentation Code
D023 D140 H4 H402 H441 H481 H8 M280 M311 M321
M342 M373 M391 M412 M511 M520 M530 M540 M710 M903
M904 P411 P420 P616 V811
Markush Compounds
199333-18302-N

Chemical Indexing M2 *03*
Fragmentation Code
G013 G037 G038 G111 G572 H6 H603 H641 J0 J011
J2 J231 J5 J562 M1 M123 M136 M210 M211 M240
M283 M320 M414 M510 M520 M531 M541 M710 M903 M904
P411 P420 P616 V811
Markush Compounds
199333-18303-N

SECONDARY-ACC-NO:
CPI Secondary Accession Numbers: C1993-116547